10715662 7/18/06

	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 09:58:31 ON 24 JUL 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 JUL 2006 HIGHEST RN 894992-91-7
DICTIONARY FILE UPDATES: 21 JUL 2006 HIGHEST RN 894992-91-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

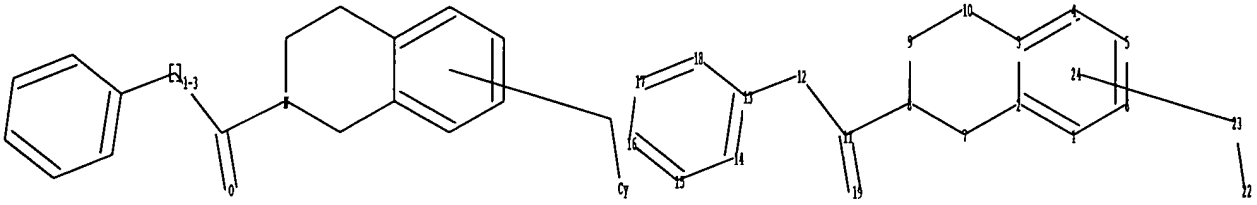
TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10715662.str



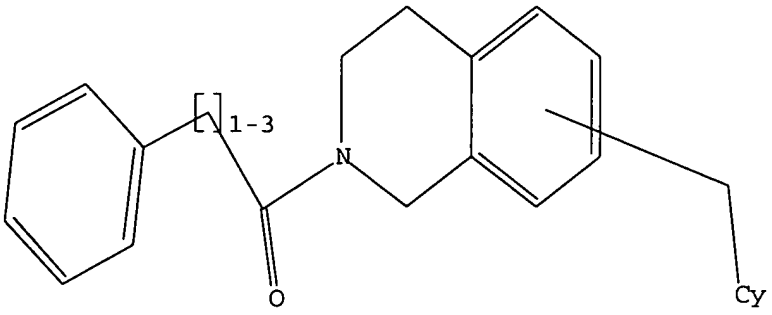
chain nodes :
11 12 19 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18
chain bonds :
8-11 11-12 11-19 12-13 22-23
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 13-14 13-18 14-15 15-16 16-17 17-18
exact/norm bonds :
2-7 3-10 7-8 8-9 8-11 9-10 11-19 22-23
exact bonds :
11-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
22:Atom 23:CLASS 24:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 09:58:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 20053 TO ITERATE

10.0% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 392583 TO 409537
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 09:58:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 404325 TO ITERATE

100.0% PROCESSED 404325 ITERATIONS 22 ANSWERS
SEARCH TIME: 00.00.16

L3 22 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	167.82	168.03

FILE 'CAPLUS' ENTERED AT 10:00:13 ON 24 JUL 2006

10715662 7/18/06

FILE COVERS 1907 - 24 Jul 2006 VOL 145 ISS 5
FILE LAST UPDATED: 23 Jul 2006 (20060723/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

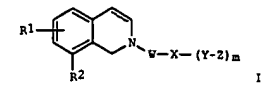
=> s l3
L4 4 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:356439 CAPLUS
DOCUMENT NUMBER: 138:368779
TITLE: Preparation of isoquinolines as 5-HT antagonists for treatment of psychiatric disorders
INVENTOR(S): Angst, Christof; Haeberlein, Markus; Hill, Daniel; Jacobs, Robert; Moore, Gary; Pierson, Edward; Shenvi, Ashokkumar Bhikkappa
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037887	A1	20030508	WO 2002-SE1988	20021101
WO 2003037887	C1	20050317		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2464342	AA	20030508	CA 2002-2464342	20021101
EP 1451172	A1	20040901	EP 2002-780244	20021101
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013778	A	20041109	BR 2002-13778	20021101
CN 1608061	A	20050420	CN 2002-826281	20021101
JP 2005516896	T2	20050609	JP 2003-540168	20021101
ZA 2004003240	A	20050407	ZA 2004-3240	20040429
NO 2004002154	A	20040729	NO 2004-2154	20040525
PRIORITY APPLN. INFO.:			SE 2001-3644 A	20011101
			WO 2002-SE1988 W	20021101

OTHER SOURCE(S): MARPAT 138:368779
GI



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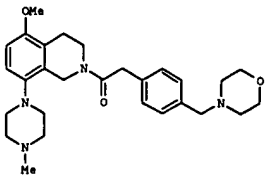
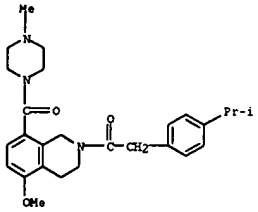


II

AB Title compds. I [wherein W = CO, CONRa, NRaCO, CO(CH2)nNRaCO, CSNRa, COCH2O, SO2NRa, NRaSO2, CHZNRa, COCH2, CH2CO, or 5-membered heterocyclyl; X = (un)substituted aryl or heterocyclyl; Y = bond, CH2, O, S, SO, CO, SO2, NRb, or NRbSO2; Z = Rb, CO2Ra, CON(Ra)2, NHRb, alkyl-N(Ra)2, SO2Rc, or (un)substituted aryl(alkyl) or heterocyclyl; R1 = halo, alkyl, ORa, SOpRa, N(Ra)2, or CN; R2 = aryl or heterocyclyl(carbonyl); Ra = H or (un)substituted alkyl; Rb = H, alkyl(sulfanyl), alkanoyl, aryl(alkyl), or arylalkoxyalkyl; Rc = alkyl, aryl, or heterocyclyl; m = 0 or 1; n = 0-4; p = 0-2;] were prepared as 5-HT1B and 5-HT1D antagonists (no data). For example, O-methylation of 5-hydroxyisoquinoline using NaOBu-t and PhMe3NCl in DMF (85%), followed by bromination with bromine in AcOH gave 5-methoxy-8-bromoisoquinoline (47%). Substitution with N-methylpiperazine using NaOBu-t, BINAP, and tris(dibenzylideneacetone)dipalladium in PhMe and subsequent reduction with NaCNBH3 and BF3·Et2O in MeOH gave 5-methoxy-8-(4-methylpiperazin-1-yl)-1,2,3,4-tetrahydroisoquinoline. Coupling of 4-(bromomethyl)phenylacetic acid with morpholine in the presence of K2CO3 in MeCN provided 4-(morpholinomethyl)phenylacetic acid. Amidation of the tetrahydroisoquinoline with the phenylacetic acid in DMF afforded II. I are useful for the treatment of psychiatric disorders including but not limited to depression, generalized anxiety, eating disorders, dementia, panic disorder, and sleep disorders (no data). The compds. may also be useful in the treatment of gastrointestinal disorders, motor disorders, endocrine disorders, vasospasm, and sexual dysfunction (no data).

IT 521315-28-6P, 2-(4-Isopropylphenyl)-1-[5-methoxy-8-(4-methylpiperazin-1-ylcarbonyl)-3,4-dihydro-1H-isoquinolin-2-yl]ethanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-HT antagonist; preparation of isoquinolines as 5-HT1B and 5-HT1D antagonists for treatment of psychiatric disorders)

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 521315-28-6 CAPLUS
CN Isoquinoline, 1,2,3,4-tetrahydro-5-methoxy-2-[[4-(1-methylethyl)phenyl]acetyl]-8-[(4-methyl-1-piperazinyl)carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:946114 CAPLUS
DOCUMENT NUMBER: 138:24648
TITLE: Substituted tetrahydroisoquinolines for use in the treatment of inflammatory diseases
INVENTOR(S): Fenton, Garry; Harris, Neil Victor
PATENT ASSIGNEE(S): Aventis Pharma Limited, UK
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098426	A1	20021212	WO 2002-GB2517	20020605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2449402	AA	20021212	CA 2002-2449402	20020605
EP 1392306	A1	20040303	EP 2002-730462	20020605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005500276	T2	20050106	JP 2003-501465	20020605
US 2004122047	A1	20040624	US 2003-715662	20031118
PRIORITY APPLN. INFO.:			GB 2001-13708 A	20010606
			US 2001-311502P P	20010810
			WO 2002-GB2517 W	20020605

OTHER SOURCE(S): MARPAT 138:24648
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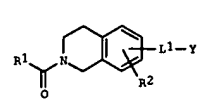

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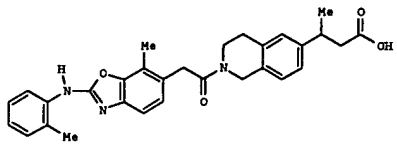


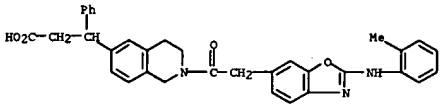
II

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. I [R1 represents optionally substituted aryl, optionally substituted heteroaryl, R3NH-Ar1-L2- or R3-NH-C(=O)-NH-Ar2-L2-; R3 represents aryl or heteroaryl; Ar1 represents a saturated, partially saturated or fully unsatd. 8- to 10-membered bicyclic ring system containing at least one heteroatom selected from O, S or N; Ar2 represents aryldiyl or heteroaryldiyl; L1 represents a linkage, such as an alkylene linkage; L2 represents an alkylene chain linkage; R2 represents hydrogen, halogen, C1-4alkyl or C1-4alkoxy; and Y is carboxy or an acid bioisostere; but excluding compds. where an oxygen, nitrogen or sulfur atom is attached directly to a carbon carbon multiple bond of an alkenylene or alkynylene residue] and the corresponding N-oxides and ester prodrugs thereof, and the pharmaceutically acceptable salts and solvates of such compds., and the N-oxides and ester prodrugs thereof, are prepared and disclosed as antiinflammatory agents. Thus, II was prepared by hydrolysis of 3-{((4-methyl-2-o-tolylaminobenzoxazol-6-yl)-acetyl)-1,2,3,4-tetrahydroisoquinolin-6-yl}-butanoic acid Et ester (preparation given). In adhesion assays, particular compds. of the invention possessed IC50 values in the range of 77 nM to 100 μM in anal. with both fibronectin and VCAM-1. Such compds. have valuable pharmaceutical properties, in particular the ability to regulate the interaction of VCAM-1 and fibronectin with the integrin VLA-4(α4β1).

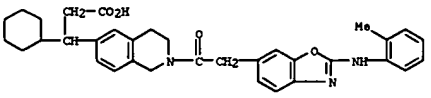
IT 477950-75-7P 477950-76-8P 477950-77-9P
477950-83-7P 477950-84-8P 477950-85-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tetrahydroisoquinolines as antiinflammatory agents)

RN 477950-75-7 CAPLUS
CN 6-Isoquinolinepropanoic acid, 1,2,3,4-tetrahydro-2-[[2-[(2-methylphenyl)amino]-6-benzoxazolyl]acetyl]-β-phenyl- (9CI) (CA INDEX NAME)

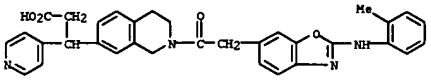


RN 477950-76-8 CAPLUS
CN 6-Isoquinolinepropanoic acid, β-cyclohexyl-1,2,3,4-tetrahydro-2-[[2-[(2-methylphenyl)amino]-6-benzoxazolyl]acetyl]- (9CI) (CA INDEX NAME)

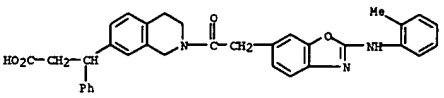
L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 477950-77-9 CAPLUS
CN 7-Isoquinolinepropanoic acid, 1,2,3,4-tetrahydro-2-[[2-[(2-methylphenyl)amino]-6-benzoxazolyl]acetyl]-β-4-pyridinyl- (9CI) (CA INDEX NAME)

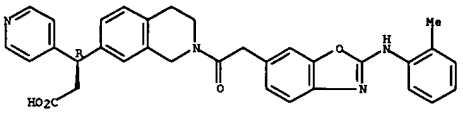


RN 477950-83-7 CAPLUS
CN 7-Isoquinolinepropanoic acid, 1,2,3,4-tetrahydro-2-[[2-[(2-methylphenyl)amino]-6-benzoxazolyl]acetyl]-β-phenyl- (9CI) (CA INDEX NAME)



RN 477950-84-8 CAPLUS
CN 7-Isoquinolinepropanoic acid, 1,2,3,4-tetrahydro-2-[[2-[(2-methylphenyl)amino]-6-benzoxazolyl]acetyl]-β-4-pyridinyl-, (βR)- (9CI) (CA INDEX NAME)

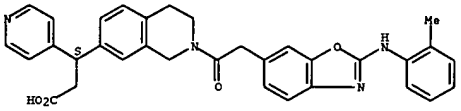
Absolute stereochemistry.



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

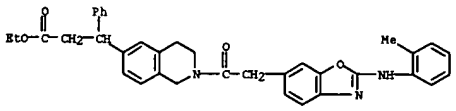
RN 477950-85-9 CAPLUS
CN 7-Isoquinolinepropanoic acid, 1,2,3,4-tetrahydro-2-[[2-[(2-methylphenyl)amino]-6-benzoxazolyl]acetyl]-β-4-pyridinyl-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

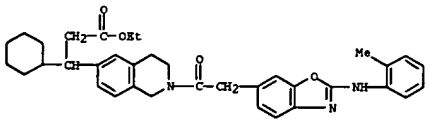


IT 477950-88-2P 477950-89-3P 477950-94-0P
477951-12-5P 477951-13-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydroisoquinolines as antiinflammatory agents)

RN 477950-88-2 CAPLUS
CN 6-Isoquinolinepropanoic acid, 1,2,3,4-tetrahydro-2-[[2-[(2-methylphenyl)amino]-6-benzoxazolyl]acetyl]-β-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

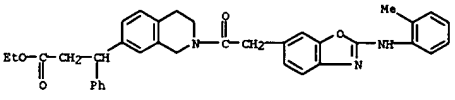


RN 477950-89-3 CAPLUS
CN 6-Isoquinolinepropanoic acid, β-cyclohexyl-1,2,3,4-tetrahydro-2-[[2-[(2-methylphenyl)amino]-6-benzoxazolyl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)



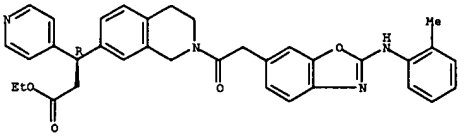
RN 477950-94-0 CAPLUS
CN 7-Isoquinolinepropanoic acid, 1,2,3,4-tetrahydro-2-[[2-[(2-methylphenyl)amino]-6-benzoxazolyl]acetyl]-β-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



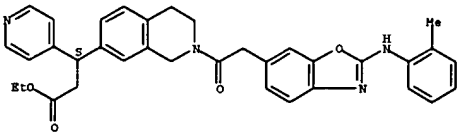
RN 477951-12-5 CAPLUS
CN 7-Isoquinolinepropanoic acid, 1,2,3,4-tetrahydro-2-[[2-[(2-methylphenyl)amino]-6-benzoxazolyl]acetyl]-β-4-pyridinyl-, ethyl ester, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477951-13-6 CAPLUS
CN 7-Isoquinolinepropanoic acid, 1,2,3,4-tetrahydro-2-[[2-[(2-methylphenyl)amino]-6-benzoxazolyl]acetyl]-β-4-pyridinyl-, ethyl ester, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

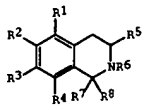


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:134305 CAPLUS
DOCUMENT NUMBER: 120:134305
TITLE: Preparation of 1,2,3,4-tetrahydroisoquinolines useful in the treatment of CNS disorders
INVENTOR(S): VanAtten, Mary K.
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: U.S., 22 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5236934	A	19930817	US 1992-935509	19920826
PRIORITY APPLN. INFO.:			US 1992-935509	19920826

OTHER SOURCE(S): MARPAT 120:134305
GI



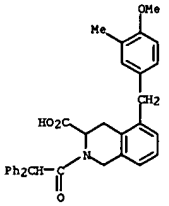
I

AB Title compds. I [R1, R2 = H, C1-5 alkyl, C3-7 cycloalkyl, (CH2)m-C3-7 cycloalkyl wherein m = 1-4, RyO wherein Ry = H, C1-4 alkyl, Ph, PhCH2 perfluoro-C3-7 alkyl, (substituted) Ph derivative; R3, R4 = H, C1-5 alkoxy, HO, C1-5 alkyl, Pr, Cl, S(O)p-C1-5 alkyl wherein p = 0-2; R5 = HOCH2, CHO, F3CSO2NH, tetrazolyl, R1202C, R12ONHCO wherein R12 = H, C1-5 alkyl, Ph; R6 = cycloalkylcarbonyl, cycloalkylcarbamyl; R7, R8 = H, C1-5 alkyl], are prepared Biphenylmethyl alc. and Et3N in CH2Cl2 was treated with MeSO2Cl in CH2Cl2 to give the ester which in 5 steps was converted to I (R1 = Ph, R2 = R3 = R4 = R7 = R8 = H, R5 = MeO2C, R6 = Ph2CHCO) and converted to the free acid. A similar prepared compound I (R1 = 4,3-(MeO)MeC6H3, R2 = R3 = R4 = R7 = R8 = H, R5 = HO2C, R = Ph2CHCO) (II). CNS activity was demonstrated in the antagonist specificity exhibited by AII receptor subtype AT2 for which the IC50 was 6 + 10-8M. Pharmaceutical formulations of I are given.

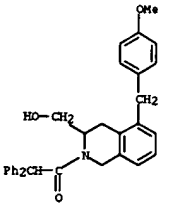
IT 152495-39-1P 152495-42-6P 152495-44-8P
152495-45-9P 152495-57-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as CNS agent)

RN 152495-39-1 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

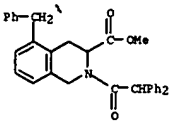
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



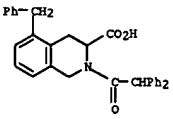
RN 152495-57-3 CAPLUS
CN 3-Isoquinolinemethanol, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



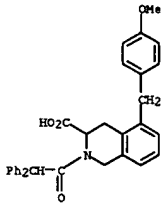
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 152495-42-6 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-(phenylmethyl)- (9CI) (CA INDEX NAME)

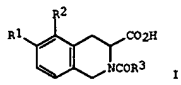


RN 152495-44-8 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 152495-45-9 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-[(4-methoxy-3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:77159 CAPLUS
DOCUMENT NUMBER: 120:77159
TITLE: A novel series of selective, non-peptide inhibitors of angiotensin II binding to the AT2 site
AUTHOR(S): VanAtten, Mary K.; Ensinger, Carol L.; Chiu, Andrew T.; McCall, Dale E.; Nguyen, Tam T.; Wexler, Ruth R.; Timmermans, Pieter B. M. W. M.
CORPORATE SOURCE: DuPont Merck Pharm. Co., Wilmington, DE, 19880-0402, USA
SOURCE: Journal of Medicinal Chemistry (1993), 36(25), 3985-92
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

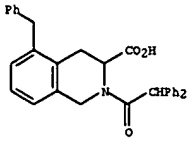
AB The availability of peptide and non-peptide Ang II receptor antagonists has permitted the study of Ang II receptor heterogeneity. It is now widely recognized that there are at least two distinct Ang II receptor subtypes. AT1 receptors are selective in their recognition of agents such as losartan, DuP 532, L-158,809, SKF108566, and similar non-peptides. To date, all of the well-known actions of Ang II in mammals are blocked by the AT1 selective antagonists such as losartan and are thus designated as being mediated by the AT1 receptor. Although there have been reports of functional activity mediated through AT2 sites, the pharmacol. role for the AT2 receptor has not yet been elucidated. Herein, the chemical and SAR on a novel series of 1,2,3,4-tetrahydroisoquinoline-3-carboxylic acids I [R1 = H, PhO, OH, Ph; R2 = H, PhCH2, pentyl, Ph, 4-MeOC6H4CH2, 3,4-Me(MeO)C6H3CH2, 4-MeOC6H4; R3 = CHPh2, NPh2, NMePh] which have selective affinity for AT2 receptors are reported. The most potent of which I (R1 = Ph, R2 = H, R3 = CHPh2) has an IC50 of 30 nM for the AT2 receptor in the rat adrenal radioligand binding assay.

IT 151888-46-9P 151888-47-0P 151888-58-3P
152495-39-1P 152495-44-8P 152495-45-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and angiotensin II AT2 receptor binding affinity of)

RN 151888-46-9 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-(phenylmethyl)-, (+)- (9CI) (CA INDEX NAME)

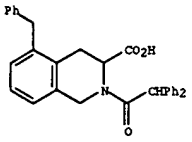
Rotation (+).

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

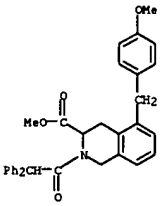


RN 151888-47-0 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-(phenylmethyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



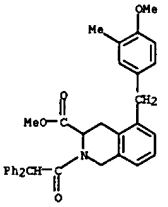
RN 151888-58-3 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-[(4-methoxyphenyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



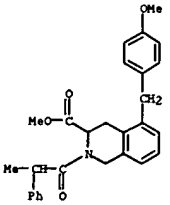
RN 152495-39-1 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

RN 151888-65-2 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-[(4-methoxy-3-methylphenyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



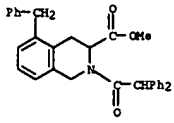
RN 151888-68-5 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 1,2,3,4-tetrahydro-5-[(4-methoxyphenyl)methyl]-2-(1-oxo-2-phenylpropyl)-, methyl ester (9CI) (CA INDEX NAME)



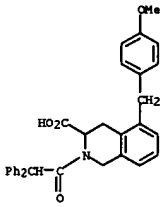
IT 152495-42-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, resolution, and angiotensin II AT2 receptor binding affinity of)

RN 152495-42-6 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-(phenylmethyl)- (9CI) (CA INDEX NAME)

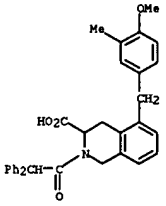
L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 152495-44-8 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

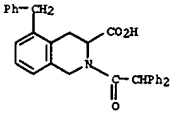


RN 152495-45-9 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-(diphenylacetyl)-1,2,3,4-tetrahydro-5-[(4-methoxy-3-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



IT 151888-65-2P 151888-68-5P

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



10715662 7/18/06

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